WEST Search History

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That items	11031010	O.Ca.	Cancer	

DATE: Sunday, March 11, 2007

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	L7	7148241	0							
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10/721,525

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1.1
        STRUCTURE UPLOADED
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L1 HAS NO ANSWERS
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
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=> s 11 sam
              1 SEA SSS SAM L1
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                  (PD<20021100)
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             9 L4 AND PD<NOV 2002
=> dis 15 1-9 bib abs hitstr
     ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
L5
ΑN
     2001:188887 CAPLUS Full-text
     134:372101
DN
     Supramolecular \pi	ext{-Stacked} Assemblies of Bis(urea)-Substituted Thiophene
TΙ
     Derivatives and Their Electronic Properties Probed with Scanning Tunneling
     Microscopy and Scanning Tunneling Spectroscopy
     Gesquiere, A.; De Feyter, S.; De Schryver, F. C.; Schoonbeek, F.; van
ΑU
     Esch, J.; Kellogg, R. M.; Feringa, B. L.
     Department of Chemistry, University of Leuven (KULeuven), Heverlee, 3001,
CS
     Belg.
SO
     Nano Letters (2001), 1(4), 201-206
     CODEN: NALEFD; ISSN: 1530-6984
PΒ
     American Chemical Society
     Journal
DT
     English
LA
      In this contribution the authors studied the two-dimensional (2D) supramol.
AΒ
      organization and electronic properties of two bis(urea)-substituted
      oligothiophene derivs., containing two or three thiophene units (T2 and T3,
      resp.), at the solution/graphite interface with scanning tunneling microscopy
      (STM) and scanning tunneling spectroscopy (STS). Because of the \pi\text{-stacking} of
      the oligomers the observed zero conductance band gap in the I(V) curves of a
      ribbon is considerably smaller than for an isolated oligothiophene mol.,
      indicating that there exists an effective conjugation in the \pi-stacked ribbons
      on the surface.
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321602-50-0

IT

RL: PRP (Properties)

(supramol. π -stacked assemblies of bis(urea)-substituted thiophene derivs. and their electronic properties probed with scanning tunneling microscopy and scanning tunneling spectroscopy)

RN 321602-50-0 CAPLUS

CN Urea, N,N''-([2,2':5',2''-terthiophene]-5,5''-diyldi-4,1-butanediyl)bis[N'-dodecyl- (9CI) (CA INDEX NAME)

PAGE 1-A

Me— (CH₂)₁₁— NH— CH₂)₄— NH— (CH₂)₄— (CH

PAGE 1-B

_____C___NH__ (CH₂)₁₁__Me

RE.CNT 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2000:842503 CAPLUS Full-text

DN 134:137066

- TI Molecular Organization of Bis-urea Substituted Thiophene Derivatives at the Liquid/Solid Interface Studied by Scanning Tunneling Microscopy
- AU Gesquiere, A.; Abdel-Mottaleb, M. M. S.; De Feyter, S.; De Schryver, F. C.; Schoonbeek, F.; van Esch, J.; Kellogg, R. M.; Feringa, B. L.; Calderone, A.; Lazzaroni, R.; Bredas, J. L.
- CS Department of Chemistry Laboratory of Molecular Dynamics and Spectroscopy, University of Leuven (KU Leuven), Heverlee, 3001, Belg.
- SO Langmuir (2000), 16(26), 10385-10391 CODEN: LANGD5; ISSN: 0743-7463
- PB American Chemical Society
- DT Journal
- LA English
- AB In this contribution the authors report on a structural study of the 2-dimensional (2D) supramol. organization of 3 bis-urea substituted thiophene derivs., containing one, 2, or 3 thiophene units, at the solution/graphite interface with scanning tunneling microscopy (STM). The compds. under study form highly ordered physisorbed monolayers. Hydrogen bonding between the urea groups of adjacent mols. controls the spatial arrangement on the graphite surface. Mol. modeling and theor. calcns. demonstrate that the thiophene rings are tilted with respect to the surface and have partially overlapping π -systems. This control of the 2-dimensional self-assembly is promising for future studies on the electronic properties of these mols.
- IT 321602-50-0
 - RL: PRP (Properties).

(mol. organization of bis-urea substituted thiophene derivs. adsorbed at octanol/graphite interface studied by STM)

RN 321602-50-0 CAPLUS

CN Urea, N,N''-([2,2':5',2''-terthiophene]-5,5''-diyldi-4,1-butanediyl)bis[N'-dodecyl-(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RE.CNT 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1996:171806 CAPLUS <u>Full-text</u>

DN 124:232237

TI Preparation of photodynamic $\alpha\text{-terthiophene}$ conjugates with biocidal properties

IN Neri, Giovanni; Roncucci, Gabrio

PA L. Molteni & C. Dei Fratelli Alitti Societa di Esercizio Societa Per Azioni, Italy

SO PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.	PATENT NO.					KIND DATE			APPLICATION NO.				. DA	ATÉ					
PI	WO 9					A1				WO 1995-EP1938 CN, CZ, EE, FI, GE,									<
	,	vv .	KG,	KP,	KR,	KZ,	LK,	LR,	LT,	LV,	MD,	MG,	MN,	MW,	MX,				
	,	RW.				SG, SZ,										GR.	IE.	IT,	
			LU,	MC,	NL,	PT,													
	CA 2	1011	•	TD,		A1		1 0 0 5	1130		C 20 1	995-	2191	195		1 (9950!	522	<
	AU 9					A			1218								9950		
	EP 7								0312		EP 1	995-	9200	73		1 9	9950!	522	<
	EP 7					DE,				GB,	GR,	IE,	IT,	LI,	NL,	PT,	SE		
	AT 1							2000	0515		AT 1	995-	9200	73		1:	9950	522	<
	ES 2	1472				Т3			0901										
	US 5								0209		US 1	996-	7500	21		1	9961	122	<
PRAI	IT 1																		
	WO 1					W		1995	0522										
OS´ GI	MARP.	AT I	124:	2322	37														
GI																			

AΒ Photodyn. conjugates consisting of a carrier mol. and of an organic mol., preferably terthiophene or analogs (I; Z1 - Z3 = S, O), able to efficiently produce singlet oxygen after irradiation are prepared I is suitably derivatized in order to react with an amino, thiol saccharide, histidine, and tyrosine group of the carrier mol. Said carrier mols. are selected from antibodies, peptides, heptamers, sugars, or other analogous carriers able to direct the photosensitizer mol. toward a biol. target, e.g. Con A, avidin, biotin, monoclonal antibody-anti-Candida albicans, monoclonal antibody anti-Herpes simplex virus 1 or 2, and monoclonal antibody anti-Rubella virus. Said conjugates (e.g. α -terthiophene conjugates with Con A, avidin, biotin, monoclonal antibody-anti-Candida albicans, monoclonal antibody anti-Herpes simplex virus 1 or 2, and monoclonal antibody anti-Rubella virus) are useful either for therapeutic or diagnostic purposes, e.g., as antibacterial, antiviral, antifungal, and antitumor agents. Thus, formylation of 2,2':5',2''-terthiophene (II; R = H) by N-methylformanilide and POCl3 in CH2C12 under reflux for 40 h to 5-formyl- α -terthiophene II (R = CHO) followed reductive alkylation with proline in the presence of NaBH4 and mol. sieves in MeOH at room temperature for 12 h gave the N-(terthiophenylmethyl)L-proline II (R = CH2-Pro-OH), which was esterified with N-hydroxysuccinimide using DCC in DMF/CH2Cl2 at room temperature for 20 h to give the active ester II (R = Q). The latter compound was coupled with Con A (ConA) in 100 mM phosphate buffer (pH 8) to give the ConA- α -terthiophene conjugate. Suspension of Candida albicans and Saccharomyces cerevisiae was incubated in the dark with the latter conjugate at 3 + 10-8 M for 0.5 h and then irradiated at 350 nm for 30min and incubated in the dark for 24 h at 33°. The growth of the treated fungi was completely inhibited. Monoclonal antibodies against Herpes simplex virus 1 or 2, Candida albicans, anti-Rubella virus, and 225-28S.

IT 174563-44-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of photodynamic α -terthiophene conjugates for producing singlet oxygen as biocides or diagnostics)

RN 174563-44-1 CAPLUS

CN Propanimidic acid, 3-imino-3-[methyl([2,2':5',2''-terthiophen]-5-ylmethyl)amino]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1995:792711 CAPLUS Full-text

DN 123:183332

TI Electrophotographic photosensitive member, process cartridge including same and electrophotographic apparatus.

IN Suzuki, Koichi; Takai, Hideyuki; Miyazaki, Hajime; Sugiyama, Satomi; Kunieda, Mitsuhiro

PA Canon K. K., Japan

SO Eur. Pat. Appl., 41 pp. CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

CHI.	CNII						
	PATENT NO.	KINĎ	DATE	APPLICATION NO.	DATE		
							
ΡI	EP 657781	A1	19950614	EP 1994-402659	19941122 <		
	EP 657781	B1	20000503				
	R: DE, FR, GB,	ΙT					
	JP 07191481	A	19950728	JP 1994-285261	19941118 <		
	JP 07191480	A	19950728	JP 1994-285404	19941118 <		
	JP 07199494	A	19950804	JP 1994-285403	19941118 <		
	US 5543257	A	19960806	US 1994-345707	19941122 <		
PRAI	JP 1993-314055	A	19931122		•		
os ·	MARPAT 123:183332						
GI							

Aln
$$=$$
 N $=$ N $=$ NA2

Result of the second constant $=$ NA4

Result of the second constant

An electrophotog. photosensitive member is constituted by a photosensitive layer containing a specific disazo pigment having a 2,2'-bis-1,3-benzdithiolenediyl skeleton I or a thiophene-diyl skeleton II [R1-R6 = H, alkyl, alkoxy, aryl; A1, A2 = coupler residue having phenolic OH; R7-R8 = H, halogen, alkyl, alkoxy, aryl; A3, A4 = A1, ≥1 of A3 and A4 is III (Z = bond; Z1 = O, S; m = pos. integer; X1 = residual group for forming polycyclic aromatic ring or polycyclic heterocyclic group by condensation reaction with the benzene ring; R9-R10 = H, alkyl, aryl, aralkyl, heterocyclyl, can be connected to each other to form a cyclic amino group)]. The photosensitive member is effective for providing a process cartridge and an electrophotog.

10/721,525

apparatus resp. including the photosensitive member with an excellent photosensitivity and a stable elec. potential in repetitive use.

IT 167769-27-9 167769-28-0 167769-29-1 167769-30-4 167769-31-5 167769-32-6 167769-33-7 167769-34-8 167769-35-9 167769-36-0 167769-37-1 167769-38-2

167769-39-3

RL: DEV (Device component use); TEM (Technical or engineered material use); USES (Uses)

(charge generator for electrophotog. photoconductor)

RN 167769-27-9 CAPLUS

CN 11H-Benzo[a]carbazole-3-carboxamide, 1,1'-[[2,2':5',2''-terthiophene]-5,5''-diylbis(azo)]bis[8-fluoro-2-hydroxy-N-[[(3-nitrophenyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-B

RN 167769-28-0 CAPLUS

CN 11H-Benzo[a]carbazole-3-carboxamide, 1,1'-[[2,2':5',2''-terthiophene]-5,5''-diylbis(azo)]bis[8-fluoro-2-hydroxy-N-[[(2-methylphenyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

PAGE 3-A

$$\begin{bmatrix} R & & & \\ N & & & \\ \end{bmatrix} = \begin{bmatrix} S & & \\ & & \\ \end{bmatrix} = \begin{bmatrix} S & & \\ & & \\ & & \\ \end{bmatrix}$$

RN 167769-29-1 CAPLUS

CN 11H-Benzo[a]carbazole-3-carboxamide, 1,1'-[[2,2':5',2''-terthiophene]-5,5''-diylbis(azo)]bis[N-[[(4-fluorophenyl)amino]carbonyl]-2-hydroxy-(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1∸B

RN 167769-30-4 CAPLUS

CN 11H-Benzo[a]carbazole-3-carboxamide, 1,1'-[[2,2':5',2'':5'',2'''-quaterthiophene]-5,5'''-diylbis(azo)]bis[N-[[(2-chlorophenyl)amino]carbonyl]-8-fluoro-2-hydroxy-(9CI) (CA INDEX NAME)

PAGE 1-B

RN 167769-31-5 CAPLUS

CN 2-Naphthalenecarboxamide, 4,4'-[[2,2':5',2'':5'',2''':5''',2''''-quinquethiophene]-5,5''''-diylbis(azo)]bis[N-[[(2-chlorophenyl)amino]carbonyl]-3-hydroxy- (9CI) (CA INDEX NAME)

RN 167769-32-6 CAPLUS

CN 11H-Benzo[a]carbazole-3-carboxamide, 1,1'-[[2,2':5',2'':5'',2''':5''',2'''' '-quinquethiophene]-5,5''''-diylbis(azo)]bis[8-fluoro-2-hydroxy-N-[[(2-methylphenyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-B

RN 167769-33-7 CAPLUS

CN 2-Naphthalenecarboxamide, 4,4'-[[2,2':5',2'':5'',2''':5''',2''''-quinquethiophene]-5,5''''-diylbis(azo)]bis[3-hydroxy-N-[[[2-(trifluoromethyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 167769-34-8 CAPLUS

RN 167769-35-9 CAPLUS

CN 2-Naphthalenecarboxamide, 4,4'-[[2,2':5',2'':5'',2''':5''',2''':5'''',2'''':5'''',2''''-septithiophene]-5,5''''''-diylbis(azo)]-3-hydroxy-N-

[(phenylamino)carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-B

RN 167769-36-0 CAPLUS
CN 11H-Benzo[a]carbazole-3-carboxamide, 1,1'-[[2,2':5,2'':5'',2''':5''',2''''
:5'''',2'''':5'''',2'''''-septithiophene]-5,5'''''-diylbis(azo)]bis[8-chloro-N-[[(2-ethoxyphenyl)amino]carbonyl]-2-hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-B

RN 167769-37-1 CAPLUS

CN 2-Naphthalenecarboxamide, 4,4'-[(3',4'-dimethyl[2,2':5',2''-terthiophene]-5,5''-diyl)bis(azo)]bis[3-hydroxy-N-[(phenylamino)carbonyl]- (9CI) (CA INDEX NAME)

RN 167769-38-2 CAPLUS

CN 2-Naphthalenecarboxamide, 4,4'-[(3',3'',3''',4',4'',4'''-hexaphenyl[2,2':5',2'':5'',2''':5''',2''''-quinquethiophene]-5,5''''-diyl)bis(azo)]bis[N-[[(2-chlorophenyl)amino]carbonyl]-3-hydroxy-(9CI)(CA INDEX NAME)

RN 167769-39-3 CAPLUS
CN 11H-Benzo[a]carbazole-3-carboxamide, 1,1'-[(3',3'',3''',3'''',3'''',4',4''',4''''-decachloro[2,2':5',2'':5'',2''':5''',2'''':5'''',2'''''
':5''''',2'''''-septithiophene]-5,5'''''-diyl)bis(azo)]bis[8-chloro-N[[(2-ethylphenyl)amino]carbonyl]-2-hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1994:617588 CAPLUS Full-text

DN 121:217588

TI electrophotographic photoreceptor

IN Suzuki, Koichi; Go, Shintetsu; Kashizaki, Yoshiro

PA Canon Kk, Japan

SO Jpn. Kokai Tokkyo Koho, 67 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN CNT 1

FAN.CNI I			•	
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 06019166	А	19940128	JP 1992-192752	19920629 <
JP 3143525	B2	20010307		
PRAI JP 1992-192752		19920629		
GI				

An electrophotog. photoreceptor showing good sensitivity and chargeability and suited for repeated usage comprises a photosensitive layer containing a bisazo pigment represented by the formula I or II (X = a residual group necessary for forming an aromatic hydrocarbon or aromatic heterocyclic ring which may fuse with the benzene ring or have a substituent group; R1, R2 = H, alkyl which may have a substituent, aryl, aralkyl, a heterocyclic ring group; or a cyclic amino group containing a N atom bonded to R1 and R2; Z = O or S).

IT 158212-41-0 158212-82-9

RL: USES (Uses)

10/721,525

(photosensitive compns. containing, for electrophotog. photoreceptors) RN 158212-41-0 CAPLUS

CN 2-Naphthalenecarboxamide, 4,4'-[[2,2':5',2''-terthiophene]-5,5''-diylbis(2,1-ethenediyl-4,1-phenyleneazo)]bis[N-[[(4-bromo-2-chlorophenyl)amino]carbonyl]-1-hydroxy-(9CI) (CA INDEX NAME)

PAGE 1-B

RN 158212-82-9 CAPLUS

CN 1-Naphthalenecarboxamide, 3,3'-[[2,2':5',2''-terthiophene]-5,5''-diylbis(2,1-ethenediyl-4,1-phenyleneazo)]bis[N-[[(4-bromo-2-chlorophenyl)amino]carbonyl]-2-hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN L5

ΑN 1994:245075 CAPLUS <u>Full-text</u>

DN 120:245075

Preparation of 4-furanyl-2-[(diaminomethylene)amino]thiazole derivatives ΤI as antibacterial agents

PΑ Fujisawa Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 60 pp.

CODEN: JKXXAF

DTPatent

LA Japanese

FAN.C	CNT 2	(
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE							
ΡI	JP.05078353	А	19930330	JP 1991-185932	19910201 <							
	US 5308857	- A	19940503	US 1992-908795	19920706 <							
PRAI	US 1990-476572	А	19900207		•							
	GB 1988-19365	A	19880815									
	GB 1989-5818	A	19890314									

US 1989-385100 B2 19890716 US 1991-711727 B1 19910610

OS MARPAT 120:245075

GΙ

$$R^{1}NH \longrightarrow R^{3} O \longrightarrow AR^{4}$$

$$R^{2}NH \longrightarrow R^{3} O$$

The title compds. [I; R1, R2 = H, acyl, halo, lower alkyl; or R1R2 = lower alkylene; R3 = H, lower alkyl; R4 = NH2, acyl, acylamino, lower alkylisothioureido, heterocyclic amino, heterocyclyl, (NH)nC(:XR5)R6 (wherein n = 0,1; X = CH, N; R5 = H, cyano, NO2, acyl; R6 = H, lower alkyl, lower alkylthio, lower alkoxy, optionally substituted NH2); A = lower alkylene, CONH or AR4 = heterocyclyl; Q = H, lower alkyl], having a potent antibacterial activity, particularly against gram neg. bacteria, are prepared Thus, cyclocondensation of 5-acetamidomethyl-2- (chloroacetyl)furan with (diaminomethylene)thiourea in refluxing EtOH gave a title compound (II), which showed min. inhibitory concentration of 12.5 μ g/mL against Canpylobacter pylori 8008. A total of 114 I were prepared

IT 129595-90-0P 146354-54-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as antibacterial agent)

RN 129595-90-0 CAPLUS

CN Guanidine, [4-[5-(5-amino-1H-1,2,4-triazol-3-yl)-2-furanyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)

RN 146354-54-3 CAPLUS

CN Guanidine, [4-[5-(2-methyl-1H-imidazol-4-yl)-2-furanyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1993:147500 CAPLUS Full-text

DN 118:147500

TI Studies on antiulcer drugs. VI. 4-Furyl-2-guanidinothiazoles and related compounds as potent histamine H2-receptor antagonists

AU Katsura, Yousuke; Inoue, Yoshikazu; Tomishi, Tetsuo; Itoh, Harunobu; Ishikawa, Hirohumi; Takasugi, Hisashi

CS New Drug Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan

SO Chemical & Pharmaceutical Bulletin (1992), 40(9), 2432-41 CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

GΙ

As series of 4-furyl-2-guanidinothiazole derivs. I (R = Et, Pr, CH2SMe, 3-pyridyl, 2-thienyl, H, etc., X = 0, NSO2Me, NSO2NH2, NCN, etc., n = 0, 1, m = 0, 1) and related compds. were synthesized and evaluated for histamine H2-receptor antagonist and gastric acid antisecretory activities. Thus, (aminomethylfuranyl)guanidinothiazole II reacted with RCOCl/pyridine or RCO2H/DCC to give I (R = Et, Pr, CH2OMe, 3-pyridyl, etc., X = 0, n = 0, m = 1). Among them, I (R = H, X = NSO2NH2, n = 1, m = 0; R = H, X = 0, n = m = 1; R = Me, X = 0, n = m = 1) showed high activities in these tests. In addition, I (R = H, X = NSO2NH2) possessed potent inhibitory activities on each of the gastric ulcers induced by stress, ethanol and HCl-aspirin. On the other hand, I (R = H, X = O, n = m = 1) demonstrated antimicrobial activity against Helicobacter Pylori and the potency was far stronger than that of clin. used H2-antagonists. Some structure-activity relationships are discussed.

IT 129595-90-0P 146354-54-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation, antihistaminic, and antisecretory activity of)

RN 129595-90-0 CAPLUS

CN Guanidine, [4-[5-(5-amino-1H-1,2,4-triazol-3-yl)-2-furanyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)

RN 146354-54-3 CAPLUS

'CN Guanidine, [4-[5-(2-methyl-1H-imidazol-4-yl)-2-furanyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)

$$\mathsf{Me} \underbrace{\mathsf{NH}}_{\mathsf{N}} \underbrace{\mathsf{NH}}_{\mathsf{S}} \underbrace{\mathsf{NH$$

L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1991:228896 CAPLUS Full-text

DN 114:228896

TI Preparation of furylthiazoles as ulcer inhibitors and H2 receptor antagonists

IN Takasugi, Hisashi; Katsura, Yousuke; Inoue, Yoshikazu; Tomishi, Tetsuo

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO Eur. Pat. Appl., 98 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

r AN.	PATENT NO.			DATE .	APPLICATION NO.	DATE		
PI		355612 355612	A2 A3	19900228 19900822	EP 1989-114869	19890811 <		
	ΕP	355612	B1	19940727				
		R: AT, BE, CH,	DE, ES	, FR, GB, (GR, IT, LI, LU, NL, SE			
	ZA	8905655	A	19900425	ZA 1989-5655	19890725 <		
	ΑU	8939346	A	19900215	AU 1989-39346	19890804 <		
	DK	8903910	A	19900216	DK 1989-3910	19890809 <		
	FΙ	8903795	Α .	19900216	FI 1989-3795	19890811 <		
	JP	02072177	A	19900312	JP 1989-208801	19890811 <		
	JP	2814594	В2	19981022				
	NO	8903256	. A	19900216	NO 1989-3256	19890814 <		
	CN	1040796	A	19900328	CN 1989-106495	19890814 <		
	US	5308857	A	19940503	US 1992-908795	19920706 <		
PRAI	GB	1988-19365	A	19880815				
	GB	1989-5818	A	19890314		*		
	US	1989-385100	В2	19890716				
	US	1990-476572	В1	19900207				
	US	1991-711727	B1	19910610				

OS MARPAT 114:228896

GI For diagram(s), see printed CA Issue.

The title compds. [I; R1, R2 = H, acyl, (substituted) acyl, (substituted) alkyl; or R1R2 = alkylene; R3 = H, alkyl; R4 = amino, acyl, carboxamido, alkylisothioureido, etc.; A = alkylene, CONH; Q = H, alkyl] and their salts were prepared (Chloroacetyl) furan derivative ClCH2COQ1 was refluxed with (H2N)2C:NC(:S)NH2 in EtOH for 2 h to give [(diaminomethylene)amino]thiazol e II, which at 3.2 mg/kg showed 100% inhibition of tetragastrin-induced ulcer in beagle dogs.

IT 129595-90-0P 129596-26-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as antiulcer and H2 receptor antagonist)

RN 129595-90-0 CAPLUS

CN Guanidine, [4-[5-(5-amino-1H-1,2,4-triazol-3-yl)-2-furanyl]-2-thiazolyl]-(9CI) (CA INDEX NAME)

RN 129596-26-5 CAPLUS

CN Guanidine, [4-[5-(2-methyl-1H-imidazol-4-yl)-2-furanyl]-2-thiazolyl]-, dihydrochloride (9CI) (CA INDEX NAME)

$$Me \underbrace{\qquad \qquad \qquad N}_{N} \underbrace{\qquad \qquad N}_{N$$

●2 HCl

L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1990:235167 CAPLUS Full-text

DN 112:235167

TI Preparation of thiophene derivatives as herbicides

IN Kober, Reiner; Leyendecker, Joachim; Seele, Rainer; Karbach, Stefan; Meyer, Norbert; Westphalen, Karl Otto; Wuerzer, Bruno; Wagenblast, Gerhard

PA BASF A.-G., Fed. Rep. Ger.

SO Eur. Pat. Appl., 19 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

FAN.	CNT I						
	PATENT NO.	KIND DATÉ	APPLICATION NO.	DATE			
ΡI	EP 344660	A1 19891206	EP 1989-109592	19890527 <			
	EP 344660	B1 19920722	•	A			
	R: CH, DE, ES,	FR, GB, IT, LI, NL					
	DE 3818670	A1 19891214	DE 1988-3818670	19880601 <			
	US 4937256	A 19900626	US 1989-356552	19890525 <			
	ES 2042877	т3 19931216	ES 1989-109592	19890527 <			
	JP 02076873	A 19900316	JP 1989-136256	19890531 <			
	ни 52773	A2 19900828	ни 1989-2788	19890531 <			
	ни 202523	В 19910328					
PRAI	DE 1988-3818670	A 19880601					
OS GI	CASREACT 112:235167;	; MARPAT 112:235167					

 $R^{5} \xrightarrow{R^{4}} S \xrightarrow{R^{3}} C(R^{1}) = NA$

Ι

The title compds. I (R1 = H, halogen, C1-8 alkyl, C1-6 alkoxy, C1-8 haloalkyl, or C1-6 haloalkoxy; R2,R3,R4,R5 = CN, NO2, or R1; A = H, C1-8 alkyl, C1-6 haloalkyl, C1-8 alkoxy, C1-6 haloalkyl, optionally substituted aryl or heteroaryl, or OR6 wherein R6 = H, C1-8 alkyl, substituted C1-4 alkyl, optionally substituted C2-8 alkenyl, optionally substituted C3-7 alkynyl, C4-9 cycloalkyl, or NR7R8 group wherein R7,R8 = H, C1-8 alkyl, C1-8 alkoxy, C1-6 haloalkyl, C1-6 haloalkoxy, optionally substituted aryl or hetaryl, optionally substituted C1-12 alkylcarbonyl, or C1-12 haloalkylcarbonyl; n = 0 or 1) are prepared Thus, 2,2':5',2''-terthiophene-5-carboxaldehyde was treated with NaHCO3 and ethoxyammonium chloride in MeOH and CH2C12, stirred at room temperature for 10 h, the EtOAc removed, washed, dried, and the residue recrystd. to give O-ethyl-2,2':5',2''- terthiophene-5-carbaldoxime (II). II showed a herbicidal effect on Abutilon theophrasti, Datura stramonium, and Lamium amplexicaule.

IT 127298-62-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)

RN 127298-62-8 CAPLUS

CN Hydrazinecarboxamide, 2-([2,2':5',2''-terthiophen]-5-ylmethylene)- (9CI) (CA INDEX NAME)

$$S$$
 S CH $N-NH$ C NH_2

=> s 14 not 15

L6 8 L4 NOT L5

=> dis 16 1-8 bib abs fhitstr

L6 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:1249222 CAPLUS Full-text

DN 146:27716

TI Preparation of 5,5'-bis-(4-amidinophenyl)-2,2'-bifurans and related compounds as antiprotozoals

IN Werbovetz, Karl; Brun, Reto; Tidwell, Richard R.; Boykin, David W.; Stephens, Chad E.; Ismail, Mohamed A.; Wilson, W. David

PA University of North Carolina At Chapel Hill, USA; Georgia State University Research Foundation, Inc.

SO Eur. Pat. Appl., 55pp. CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

PATENT NO.						KIND DATE				APPLICATION NO.							DATE		
	PI	EP 1726589									1	EP 2	006-	11418	39		20060519		
		EP			DE	D.C	A3		2006		DΙΖ	cc	E C	ст	מיז	CB	GP	пц	TF
			K:	AT, IS,						MC,									
					HR,			•	•	·	·	•		•	·				
		AII 2006202040			A 1		2006	1207		A[] 2	006-1	2020	4 ()		21	0060.	516		

10/721,525

	US	200629	93540	A1	20061228	US	2006-435323	•	20060516
	CA	254718	36	A1	20061120	CA	2006-2547186		20060517
	JP	200632	28065	A	20061207	JP	2006-139710		20060519
PRAI	US	2005-6	683177P	P	20050520				
os	CA	SREACT	146:27716;	MARPAT	146:27716				
GI									

$$(R^2)_q \qquad (R^2)_q \qquad (Ar^2)_{pQ^2}$$

Title compds. [I; X1, X2 = O, S, Se, Te, NR1; R1 = H, (substituted) alkyl, aryl, cycloalkyl; p = 0, 1; q = 0-2; R2 = halo, OH, alkoxy, aryloxy, aralkoxy, (substituted) alkyl, aryl; Ar1, Ar2 = Ph, pyridyl, benzimidazolyl; Q1, Q2 = C(:NR3)NR4R5, NR6C(:NR3)R7, NR6C(:NR3)NR4R5; R3 = H, OH, acyloxy, alkoxy; R4-R7 = H, OH, (substituted) alkyl, cycloalkyl, aryl, aralkyl, alkoxy, hydroxylalkyl, hydroxycycloalkyl, alkoxycycloalkyl, aminoalkyl, acyloxy, alkylaminoalkyl, alkoxycarbonyl; R3R4 = atoms to form a ring], were prepared Thus, 6-(5'-amidino-2,2'-bifuran-5-yl)nicotinamidine acetate [preparation from 6-(5-bromofuran-2-yl)nicotinonitrile given] showed IC50 = 9.7 nM against Trypanosoma brucei rhodesiense.

IT 915978-95-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of bisamidinophenylbifurans and related compds.

as antiprotozoals)

RN 915978-95-9 CAPLUS

CN 3-Pyridinecarboximidamide, 6,6'-[2,2'-bithiophene]-5,5'-diylbis- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

- L6 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2006:263212 CAPLUS Full-text
- DN 144:425122
- TI 3D QSAR on a library of heterocyclic diamidine derivatives with antiparasitic activity
- AU Athri, Prashanth; Wenzler, Tanja; Ruiz, Patricia; Brun, Reto; Boykin, David W.; Tidwell, Richard; Wilson, W. David
- CS Department of Chemistry, Georgia State University, Atlanta, GA, 30303, USA
- SO Bioorganic & Medicinal Chemistry (2006), 14(9), 3144-3152 CODEN: BMECEP; ISSN: 0968-0896
- PB Elsevier B.V.
- DT Journal

LA English

African trypanosomes, Trypanosoma brucei rhodesiense (TBR) and Trypanosoma AB brucei gambiense (TBG), affect hundreds of thousands of lives in tropical regions of the world. The toxicity of the diamidine pentamidine, an effective drug against TBG, necessitates the design of better drugs. An orally effective prodrug of the diamidine, furamidine (DB75), presently scheduled for phase III clin. trials, has excellent activity against TBG with toxicity lower than that of pentamidine. As part of an effort to develop addnl. and improved diamidines against African trypanosomes, CoMFA and CoMSIA 3D QSAR analyses have been conducted with furamidine and a set of 25 other structurally related compds. Two different alignment strategies, based on a putative kinetoplast DNA minor groove target, were used. Due to conserved electrostatic properties across the compds., models that used only steric and electronic properties did not perform well in predicting biol. results. An extended CoMSIA model with addnl. descriptors for hydrophobic, donor, and acceptor properties had good predictive ability with a q2 = 0.699, r2 = 0.974, SEE, standard error of estimate = 0.1, and F = 120.04. The results have been used as a guide to design compds. that, potentially, have better activity against African trypanosomes.

IT 619334-67-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(3D QSAR on library of heterocyclic diamidine derivs. with antiparasitic activity)

RN 619334-67-7 CAPLUS

CN 3-Pyridinecarboximidamide, 6,6'-(2,5-furandiyl)bis- (9CI) (CA INDEX NAME)

RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1153680 CAPLUS Full-text

DN 143:430940

TI High electron-mobility organic semiconductor materials and organic thin film transistors provided with organic semiconductor materials thereof

IN Takemura, Chiyoko; Tanaka, Tatsuo; Hirai, Katsura; Kita, Hiroshi

PA Konica Minolta Holdings, Inc., Japan

SO Jpn. Kokai Tokkyo Koho, 20 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 2005303112	A	20051027	JP 2004-118727	20040414
PRAI	JP 2004-118727		20040414		

AB The title organic semiconductor material is R1X1(Y1-X2)1Y2qZY3r(X3-R2)m (R1-2 = substg. group; X1-3 = heteroat. divalent group; Y1-3 = divalent hydrocarbyl group; Z = oligomer, polymer; 1,m = 0-4 int., q,r = 0,1). The organic semiconductor materials give high electron mobility and makes possible easy

thin film (film thickness 10--300~nm) formation. The title organic TFTs employ the organic semiconductor materials as their active layer.

IT 868266-51-7P

RL: DEV (Device component use); PNU (Preparation, unclassified); PRP (Properties); PREP (Preparation); USES (Uses)

(semiconductor thin film active layer; high electron-mobility organic semiconductor materials and organic thin film transistors provided with organic semiconductor materials thereof)

RN 868266-51-7 CAPLUS

CN Urea, N,N''-[(3,4'''''-dihexyl[2,2':5',2'':5'',2''':5''',2'''':5'''',2'''''
'-sexithiophene]-5,5'''''-diyl)di-3,1-propanediyl]bis[N'-phenyl- (9CI)
(CA INDEX NAME)

PAGE 1-B

- (CH2)5-Me

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:878380 CAPLUS Full-text

DN 141:379931

TI Preparation of aminopyrimidines as IKK inhibitors for treating autoimmune diseases and inflammations

IN Bollbuck, Birgit; Denholm, Alastair; Eder, Joerg; Hersperger, Rene; Janser, Philipp; Revesz, Laszlo; Schlapbach, Achim; Waelchli, Rudolf

PA Novartis Ag, Switz.; Novartis Pharma G.m.b.H.

SO PCT Int. Appl., 217 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

FAN.	CNT 1																
	PATENT	NO.			KIND DATE		i	APPL	ICAT	ION 1	NO.		DATE				
•			-														
ΡI					A1 20041021			1	WO 2004-EP3819					20040408			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NΑ,	NΙ,
		NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ΤJ,	TM,	TN,	TR,	TT,	ΤZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,
		BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	ΒG,	CH,	CY,	CZ,	DE,	DK,	EE,
		ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,

			SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,		
			TD,	TG																
	AU	2004	2283	52		A1		2004	1021		AU 2	004-	2283	52	20040408					
	CA	2521	340			A1		2004	1021	1	CA 2	004-	2521	340	20040408					
	ΕP	1615	898			A1		2006	0118		EP 2	004-	7264	85	20040408					
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	ΗU,	PL,	SK,	HR	
	BR	2004	0093	14		Α		2006	0425		BR 2	004-	9314			20040408				
	CN	1802	357			Α		2006	0712		CN 2	004-	8001	6050		20040408				
	JP	2006	5227	68		T		2006	1005		JP 2	006-	5050	87	20040408					
	US	2007	0430	48		A1		2007	0222	US 2006-552317						20060706				
PRAI	GB	2003	-846	6		Α		2003	0411											
	WO	2004	-EP3	819		W		2004	0408											
os	MARPAT 141:379931																			
GI																				

Title compds. I [wherein R1 = H, (un)substituted lower alkyl, aryl, AΒ heterocycloalkyl, etc.; R2 = (un)substituted aryl, wherein aryl is not 4-(4fluorophenyl)-1(1-methylpiperdin-4-yl)imidazole; each R3, R4 = independently H, CN, halo, OH, lower alkoxy, (un) substituted lower alkyl; X = CR6R7; Y = CR8R9; Z = CR10R11; W = CR12R13; each R6 to R13 = independently H, (un) substituted lower alkyl, lower alkoxy, CH2O-NH2, etc.; wherein at least one of R6 to R13 is not equal to H; any pair of R6 to R13 are joined together to form an (un) substituted C1 to C4 bridge in which one or more of the bridge atoms is optionally replaced by O, S, NH and derivs.; their pharmaceutically acceptable salts, esters or prodrugs] were prepared as inhibitors of IKK protein kinase (IKK) and production of tumor necrosis factor- α (TNF- α). For e.g., a 3-step synthesis of II was given. I showed IC50 values range of 20 to 1,000 nM in the IkB kinase activity assay. I, at 30 mg/kg p.o., i.v. or s.c., inhibited TNF- α production to the extent of up to about 50% or more in LPS stimulated mice. I are useful as immunosuppressants and antiinflammatory agents.

TT 778644-46-5P, [[5'-[2-[(2,2,6,6-Tetramethylpiperidin-4-yl)amino]pyrimidin-4-yl]-[2,2']bithiophenyl-5-yl]methyl]urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(IKK inhibitor; preparation of aminopyrimidines as inhibitors of TNF- $\!\alpha$ production for treating autoimmune diseases and inflammations)

RN 778644-46-5 CAPLUS

CN Urea, [[5'-[2-[(2,2,6,6-tetramethyl-4-piperidinyl)amino]-4-pyrimidinyl][2,2'-bithiophen]-5-yl]methyl]- (9CI) (CA INDEX NAME)

$$Me \xrightarrow{Me} NH \xrightarrow{N} S \xrightarrow{S} CH_2 - NH - C - NH_2$$

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:596987 CAPLUS Full-text

DN 141:278144

TI Pyroelectricity in polyurea thin film with oligothiophene segments in the main chain prepared by vapor deposition polymerization

AU Muguruma, Hitoshi; Ishikawa, Masatoshi; Nakada, Jumpei; Hotta, Shu; Takahashi, Yoshikazu

CS Department of Electronic Engineering, Shibaura Institute of Technology, Tokyo, 108-8548, Japan

SO Japanese Journal of Applied Physics, Part 2: Letters & Express Letters (2004), 43(7A), L859-L861 CODEN: JAPLD8

PB Japan Society of Applied Physics

DT Journal

LA English

An ew polyurea thin film with oligothiophene segments in the main chain was fabricated in the form of a thin film by vapor deposition polymerization (VDP). The film was prepared by the reaction between 5,5''-bis(aminomethyl)-2,2':5',2'':5'',2'''-quaterthiophene (BAQ) and 4,4'-diphenylmethane diisocyanate (MDI). Spectroscopic data indicate that the reaction occurs successfully and that the resulting thin film is oriented by corona poling. Because of the high crystallinity of the oligothiophene backbone, the film shows a high and stable pyroelectricity (26-220 $\mu\text{C/m2}\cdot\text{K})$ at 40-150°C compared with other aromatic polyurea films prepared by VDP and conventional bulk polymer such as polyvinylidene fluoride.

IT 757998-23-5P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (pyroelectricity in polyurea thin film with oligothiophene segments in the main chain prepared by vapor deposition polymerization)

RN 757998-23-5 CAPLUS

CN Poly([2,2':5',2'':5'',2'''-quaterthiophene]-5,5'''-diylmethyleneiminocarbonylimino-1,4-phenylenemethylene-1,4-phenyleneiminocarbonyliminomethylene) (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:551368 CAPLUS Full-text

DN 142:197998

TI Efficient synthesis of 5-(5-aryl-2-furyl)pyrimidine derivatives

AU Ismail, Mohamed A.

CS Department of Chemistry, Faculty of Science, Mansoura University, Mansoura, 35516, Egypt

SO Mansoura Science Bulletin, A: Chemistry (2003), 30(2), 157-172 CODEN: MSBCF4; ISSN: 1110-4562

PB Mansoura University

DT Journal

LA English

OS CASREACT 142:197998

GΙ

AB A variety of novel substituted 5-(5-aryl/hetaryl-2-furyl)pyrimidine derivs. I [Ar = Ph, (E)-CH=CHPh, 4-CNC6H4, 4-CHOC6H4, 2-formylfuryl] including uracil analogs II [Ar = Ph, (E)-CH=CHPh, 4-CHOC6H4] have been synthesized starting from 5-bromo-2,4-diethoxypyrimidine via Stille coupling, NBS-bromination and Suzuki coupling reaction sequence. Subsequent functional group transformations involving either hydrolysis, chlorination, amination or amidoxime formation, methylation, acylation, and Pd-C hydrogenation furnished the desired furyl

10/721,525

pyrimidine derivs. bearing amidoxime, methoxime, amidine, guanyl hydrazone, or diamino groups.

IT 837416-01-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of arylfurylpyrimidines via Suzuki couplings of bromofuryldiethoxypyrimidine with arylboronic acids followed by aryl functional group transformations)

RN 837416-01-0 CAPLUS

CN Hydrazinecarboximidamide, 2-[[5'-(2,4-diethoxy-5-pyrimidinyl)[2,2'-bifuran]-5-yl]methylene]- (9CI) (CA INDEX NAME)

$$H2N-C-NH-N$$
 CH O O N OEt

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:490698 CAPLUS Full-text

DN 141:54198

TI Preparation of dicationic 2,5-diarylfuran aza-analogs as anti-protozoan agents

IN Boykin, David W.; Tidwell, Richard R.; Ismail, Mohamed A.; Brun, Reto

PA University of North Carolina at Chapel Hill, USA; Georgia State University Research Foundation, Inc.

SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

r AN.	PAT	ENT 1				KIND DATE					ICAT:							
PI							2 20040617 3 20040708			Ţ	WO 2	003-1		20031125				
		W: AE, AG, AL,						BA.	BB.	BG,	BR,	BW.	BY,	BZ,	CA,	CH,		
					•			•		-		-						GD,
				,	•			ID,	•	•		•						
								LV,										
								PT,										
								UΑ,										
		RW:																BY,
								TM,										
			FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
								CM,										
	CA	2504	740			A1 20040617					CA 2	003-		20031125				
	AU	2003	2959	23		A1 20040623					AU 2	003-		20031125				
	US	2004	1220	15		A1 20040624				1	US 2	003-		20031125				
	US	7148	241			B2 20061212												
	EΡ	1565	458			A2 20050824			EP 2003-787137						20031125			
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
	JP	JP 2006508164				T	T 20060309				JP 2	004-		20031125				
PRAI	US 2002-429717P					P		2002	20021127									
	WO 2003-US37691						W 20031125											
os	MAR	PAT	141:	5419	8													

AΒ Heteroaryl diamidines and prodrugs thereof of formula (I) [L1 = C(:NR6)NR5R7, CH:NNHC(:NR6)NR5R7, NHC(:NR6)NR5R7; L2 = C(:NR3)NR2R4, CH:NNHC(:NR3)NR2R4, NHC(:NR3)NR2R4; X = O, S, NR17 (where R17 = H, lower alkyl); C1, C2, A, Y =CH, N, NR17, O, or S, wherein C1 and C2 are the same or different; D1, D2, B, Z = CH, N; or NR17, wherein D1 and D2 are the same or different; provided that B, Z, or both B and Z are not present when A, Y, or both A and Y are O, S, or NR17; R13,R14, R15, R16, R1, R8 = H, lower alkyl, halogen, alkoxy, aryloxy, aralkoxy, HO; R3, R6 = H, HO, lower alkyl, cycloalkyl, aryl, aralkyl, alkoxyl, hydroxycycloalkyl, alkoxycycloalkyl, hydroxyalkyl, aminoalkyl, acyloxy, AcO, alkylaminoalkyl; R2, R4, R5, R7 = H, lower alkyl, alkoxyalkyl, cycloalkyl, aryl, aralkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl; or R2 and R4 together or R5 and R7 together represent C2-10 alkyl, hydroxyalkyl, or alkylene, or R3 and R4 together or R6 and R7 together are (R9)n-substituted 1,2-phenylene (wherein n = 1-3; R9 = H, CONHR10NR11R12; wherein R10 = lower alkyl; R11, R12 = H, lower alkyl)] are prepared These compds. are useful for treating microbial infection, in particular a Trypanosoma brucei rhodesiense infection or a Plasmodium falciparum infection. Thus, Suzuki coupling of 4cyanophenylboronic acid with 6-(5-bromofuran-2-yl) nicotinonitrile in the presence of tetrakis(triphenylphosphine)palladium in a mixture of toluene, MeOH, and 2 M aqueous Na2CO3 at 80° for 24 h to give 76% 6-[5-(4cyanophenyl) furan-2-yl]nicotinonitrile which underwent addition reaction with hydroxylamine hydrochloride using potassium tert-butoxide in DMSO at room temperature overnight to give 91% N-hydroxy-6-[5-[4-(Nhydroxycarbamimidoyl)phenyl]furan-2-yl]nicotinamidine. O-methylation of the latter compound with di-Me sulfate in a mixture of dioxane and 2 N aqueous NaOH at $0-5^{\circ}$ for 2 h gave N-methoxy-6-[5-[4-(Nmethoxycarbamimidoyl)phenyl]furan-2-yl]nicotinamidine (II). Four compds. including 6-[5-(4-carbamimidoylphenyl)furan-2-yl]nicotinamidine (III) and its prodrug II show IC50 vs. P. falciparum at less than 10 ng/mL. III and its prodrug II cured the virulent STIB900 strain of T. brucei rhodesiense in a mouse model. In an experiment slated for 180 days, the prodrug II yielded parasite free mice in the CNS model through day 120 and thereby can be employed as an oral treatment of 2nd stage human African trypanosomiasis. ΙT 619334-64-4P, 2,5-Bis[5-(N-hydroxycarbamimidoyl)-2-pyridyl]furan RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of dicationic 2,5-diarylfuran diamidines or prodrugs thereof as anti-protozoan agents)

RN 619334-64-4 CAPLUS

CN 3-Pyridinecarboximidamide, 6,6'-(2,5-furandiyl)bis[N-hydroxy- (9CI) (CA INDEX NAME)

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L6 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
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AN 2003:758932 CAPLUS Full-text

DN 139:364780

TI Synthesis and Antiprotozoal Activity of Aza-Analogues of Furamidine

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

6-[5-(4-Amidinophenyl) furan-2-yl] nicotinamidine (I; X = O, R = H) was AB synthesized from 6-[5-(4-cyanophenyl)furan-2-yl]nicotinonitrile (II), through the bis-O-acetoxyamidoxime followed by hydrogenation. Compound II was prepared via selective bromination of 6-(furan-2-yl)nicotinonitrile with Nbromosuccinimide, followed by Suzuki coupling with 4-cyanophenylboronic acid. In a similar way, diamidines III and IV (R = H) were prepared from the corresponding dicyano derivs. N-Methoxy-6-{5-[4-(N-methoxyamidino)phenyl]furan-2-yl $\}$ -nicotinamidine (I; X = O, R = OMe) was prepared via methylation of the resp. diamidoxime with dimethylsulfate. Prodrugs I (X = S, R = OMe) and IV (R = OMe) were also prepared by methylation of the resp. diamidoximes. sym. diamidines V and VI were synthesized through the corresponding bis-Oacetoxyamidoxime followed by hydrogenation. The corresponding dicyano precursors were conveniently obtained by Stille coupling between 2,5-bis(trin-butylstannyl) furan and the corresponding heteroaryl halides. These compds. have been evaluated in vitro for activity against Trypanosoma b. rhodesiense (T. b. r.) and P. falciparum (P. f.). The diamidines I (X = O, R = H) and IV(R = H), and VI gave IC50 values vs. T. b. r. of less than 10 nM. Against P. f. I (X = O, R = H) and III, and VI exhibited IC50 values less than 10 nM. an in vivo mouse model for T. b. r. compds. I (X = O, R = OMe, OEt, and H) and IV (R = OMe) were curative. I (X = O, R = OMe) produced cures at an oral dosage of 5 mg/kg.

IT 619334-63-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation, DNA binding affinity, trypanocidal and antimalarial activity of furamidine aza analogs)

RN 619334-63-3 CAPLUS

CN 3-Pyridinecarboximidamide, 6,6'-(2,5-furandiyl)bis[N-hydroxy-, hydrochloride (20:63) (9CI) (CA INDEX NAME)

●63/20 HCl

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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